

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date
3 February 2005 (03.02.2005)

PCT

(10) International Publication Number
WO 2005/009344 A2

(51) International Patent Classification⁷:

A61K

(21) International Application Number:

PCT/US2004/018202

(22) International Filing Date: 4 June 2004 (04.06.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/476,369 5 June 2003 (05.06.2003) US

(71) Applicant (for all designated States except US): ELAN PHARMACEUTICALS, INC. [US/US]; 800 Gateway Boulevard, South San Francisco, CA 94080 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): TUNG, Jay, S. [US/US]; 2224 Semeria, Belmont, CA 94002 (US). GAROFALO, Albert [US/US]; 128 Adrian Avenue, South San Francisco, CA 94080 (US). PLEISS, Mike, A. [US/US]; 848 Stella Court, Sunnyvale, CA 94087 (US).

(74) Agent: KUNG, Viola, T.; 301 Ravenswood Avenue, Menlo Park, CA 94025 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

WO 2005/009344 A2

(54) Title: ACYLATED AMINO ACID AMIDYL PYRAZOLES AND RELATED COMPOUNDS

(57) **Abstract:** This invention is directed to acylated amino acid amidyl pyrazoles and related compounds of Formula (I). The invention is also directed to a pharmaceutical formulation comprising such compound or in a pharmaceutically acceptable salt form thereof. The invention is further directed to a method for inhibiting β -amyloid peptide release and/or synthesis, a method for inhibiting γ -secretase activity, and a method for treating neurological disorders associated with β -amyloid peptide production. The method comprises administering to a host a pharmaceutical formulation comprising an effective amount of a compound of Formula (I). The compounds of Formula (I) are useful in the prevention and treatment of Alzheimer's disease.

BEST AVAILABLE COPY